

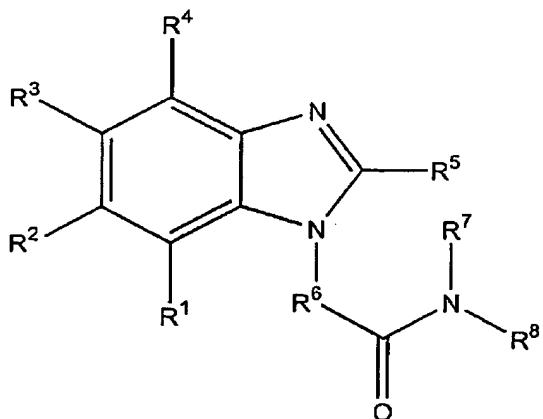
Application No.: 09/401,004

AMENDMENTS TO THE CLAIMS

A listing of the claims presented in this patent application appears below. This listing replaces all prior versions and listing of claims in this patent application.

Claims 1-71 (canceled).

Claim 72 (new): A single compound of the formula:



wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio.

Application No.: 09/401,004

alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl, -C(O)NR¹¹R¹², -C(O)R¹¹, -NR¹¹R¹², -SR¹¹, -OR¹¹ and -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R³ is selected from the group consisting of hydroxy, cyano, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl, -C(O)NR¹¹R¹², -C(O)R¹¹, -NR¹¹R¹², -SR¹¹, -OR¹¹ and -C(O)OR¹¹;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy,

Application No.: 09/401,004

protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxy carbonyl, C₁ to C₁₂ substituted alkoxy carbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

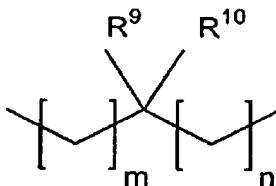
R⁶ is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl,

Application No.: 09/401,004

C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, C₇ to C₁₈ phenylalkoxy, C₇ to C₁₈ substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, [[and]] C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)NR¹¹R¹²; or

provided that, where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof.

Claim 73 (new): The single compound of claim 72, wherein R⁶ is not methylene.

Application No.: 09/401,004

Claim 74 (new): The single compound of claim 72, wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, carboxy, -C(O)NR¹¹R¹² and -C(O)R¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R³ is selected from the group consisting of a C₁ to C₁₂ substituted alkyl, carboxy, -C(O)NR¹¹R¹² and -C(O)R¹¹;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl and C₃ to C₇ substituted cycloalkyl; and

R⁷ and R⁸ are each a hydrogen atom.

Claim 75 (new): The single compound of claim 72, wherein R⁶ is methylene, R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)NR¹¹R¹².

Claim 76 (new) The single compound of claim 75, wherein R⁷ and R⁸ are each a hydrogen atom.

Claim 77 (new): The single compound of claim 72, wherein R¹, R², and R⁴ are each a hydrogen atom and R³ is selected from the group consisting of halo, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, carboxy, -C(O)NR¹¹R¹² and -C(O)R¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

Application No.: 09/401,004

Claim 78 (new): The single compound of claim 72, wherein R³ is -C(O)NR¹¹R¹² or -C(O)R¹¹.

Claim 79 (new): The single compound of claim 72, wherein R⁶ is selected from the group consisting of methylene, methylmethylen, ethylene, ethylidene, 2-methylthioethylmethylen, mercaptoethylidene, propylene, isopropylmethylen, 2-methylthiopropylidene, 3-aminocarbonylpropylmethylen, isobutylmethylen, 3-aminocarbonylbutylidene, isobutylidene, pentylene, isopentylidene, phenylmethylen, benzylmethylen, cyclohexylethylidene, cyclohexylmethylen, 4-chlorobenzylmethylen, indol-3-yethylidene, 4-trifluoroacetamidoethylmethylen, 4-trifluoroacetamidopentylidene, 3-guanidopropylmethylen, 3-guanidobutylidene, hydroxyethylidene, 2-aminocarbonylpropylidene, isopentylidene, 4-hydroxybenzylmethylen, 1,3-phenylene, 1,4-phenylene, -CH₂CH₂NH-, 1,4-(phenylene)-NH-, 3,6-dioxaoctylene-NH-, and 1,4-(cyclohexylene)-NH-.

Claim 80 (new): The single compound of claim 72, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is -C(O)NR¹¹R¹², where R¹¹ is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R¹² is selected from the group consisting of a hydrogen atom, benzyl, 4-methoxyphenyl, 4-phenoxyphenyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-(pyridin-2-yl)ethyl, methyl, 3,3,5-trimethylcyclohexyl, cyclohexyl, 3-(trifluoromethyl)benzyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, ethoxycarbonylmethyl, cyclooctyl, cyclopropyl, (N,N-diethylamino)ethyl, 3-(2-oxo-1-pyrrolidino)propyl, (1-ethyl-2-pyrrolidinyl)methyl, pyridin-4-ylmethyl, 3-(4-morpholino)propyl, 4-methylphenyl, butyl and 2-thiazolyl;

R⁵ is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl,

Application No.: 09/401,004

5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl; and

R⁷ and R⁸ are each a hydrogen atom.

Claim 81 (new): The single compound of claim 72, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)NR¹¹R¹², where R¹¹ is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R¹² is selected from the group consisting of a hydrogen atom, 2-(2-methoxyphenyl)ethyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-methyl-5-chlorophenyl, (2-(pyridin-2-yl)ethyl), 1-ethyl-2-pyrrolidinylmethyl, 3,3,5-trimethylcyclohexyl, 3,4-methylenedioxyphenyl, 3-(trifluoromethyl)benzyl, pyridin-4-ylmethyl, 6-indazolyl, 2-(ethoxylcarbonyl)ethyl, cyclooctyl, cyclopropyl, benzyl, N,N-(diethylamino)ethyl, 3-(2-oxo-1-pyrrolidine)propyl, 3-(4-morpholino)propyl, (ethoxylcarbonyl)methyl and cyclohexyl;

R⁵ is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl; and

Application No.: 09/401,004

R⁷ and R⁸ are each a hydrogen atom.

Claim 82 (new): The single compound of claim 72, wherein R⁴ is selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene.

Claim 83 (new): The single compound of claim 72, wherein R⁵ is selected from the group consisting of phenyl, substituted phenyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl.

Claim 84 (new): The single compound of claim 72, wherein R⁶ is methylene, R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

Claim 85 (new): The single compound of claim 72, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)R¹¹, wherein R¹¹ is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3.2.1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, morpholino,

Application No.: 09/401,004

2-methyl-4-(3-methylphenyl)-1-piperazino, 4-ethoxycarbonylpiperidino and N-methylhomopiperazino;

R⁵ is selected from the group consisting of 3-phenoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-bromo-2-thienyl, 4-pyridyl, 2-butyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 2,3-dichlorophenyl, 2,5-difluorophenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 5-nitro-2-furyl, 4-bromophenyl, 2-norboren-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 2-thienyl, 4-cyanophenyl, 3-cyanophenyl, 4-nitrophenyl, 2-fluorophenyl, 4-carboxyphenyl, 2-bromophenyl, 2-chloro-3,4-dimethoxyphenyl, 3-thienyl, 4-quinolyl, 4-methyl-5-imidazolyl, 4-hydroxyphenyl, 2-ethyl-5-formyl-4-methylimidazolyl, 4-chloro-2-nitrophenyl, 3-pyridyl, 3,4-dimethyl-6-nitrophenyl, 5-chloro-2-nitrophenyl and 2-nitrophenyl; and

R⁷ and R⁸ are each a hydrogen atom.

Claim 86 (new): The single compound of claim 72, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)R¹¹, wherein R¹¹ is selected from the group consisting of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl, 4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino, piperazino, 2-methyl-4-(3-methylphenyl)-1-piperazino, 4-(ethoxycarbonyl)piperidino, N-methylhomopiperazino and N,N'-diisopropylimidamino;

R⁵ is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl, 3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl, 4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl, 4-pyridyl, isopropyl, 2-methylthioethyl, 4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl, 2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl, 2,5-difluorophenyl, 2-quinolyl, 2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl, 4-chloro-3-fluorophenyl, 2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl, 5-nitro-2-furyl, 4-bromophenyl, cyclopropyl, 2-norboren-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl, 5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl, 3,4-difluorophenyl, 4-dimethylaminophenyl, 4-methylthiophenyl, 4-(trifluoromethyl)phenyl,

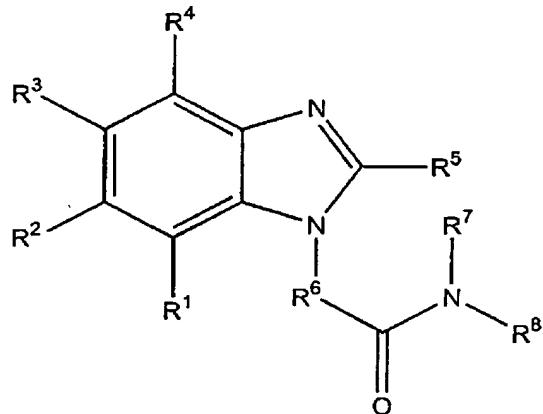
Application No.: 09/401,004

2-thienyl, 2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl, 4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl, 1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl, 2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl; and

R⁷ and R⁸ are each a hydrogen atom.

Claim 87 (new): The single compound of claim 72, wherein R¹, R², R⁴, R⁷ and R⁸ are each a hydrogen atom; R³ is the formula -C(O)NR¹¹R¹², wherein R¹¹ is a hydrogen atom and R¹² is selected from the group consisting of pyridin-2-ylmethyl and 3,3,5-trimethylcyclohexyl; R⁵ is selected from the group consisting of 4-N,N-dimethylaminophenyl, 5-chloro-2-nitrophenyl, 4-bromo-2-thienyl, 2-butyl, 5-nitro-2-furyl, 4-bromophenyl, 2-thienyl, 3-thienyl, 3-cyanophenyl, 4-cyanophenyl, 4-quinolyl and 4-hydroxyphenyl; and R⁶ is methylene.

Claim 88 (new): A single compound of the formula:



wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂

Application No.: 09/401,004

alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl, -C(O)NR¹¹R¹², -C(O)R¹¹, -NR¹¹R¹², -SR¹¹, -OR¹¹ and -C(O)OR¹¹, where R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R³ is selected from the group consisting of hydroxy, cyano, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected

Application No.: 09/401,004

amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl, -C(O)NR¹¹R¹², -C(O)R¹¹, -NR¹¹R¹², -SR¹¹, -OR¹¹ and -C(O)OR¹¹;

where at least one of R¹ to R⁴ must be -C(O)NR¹¹R¹²;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxy carbonyl, C₁ to C₁₂ substituted alkoxy carbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

R⁶ is methylene;

R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl; or

a pharmaceutically acceptable salt of a compound thereof.